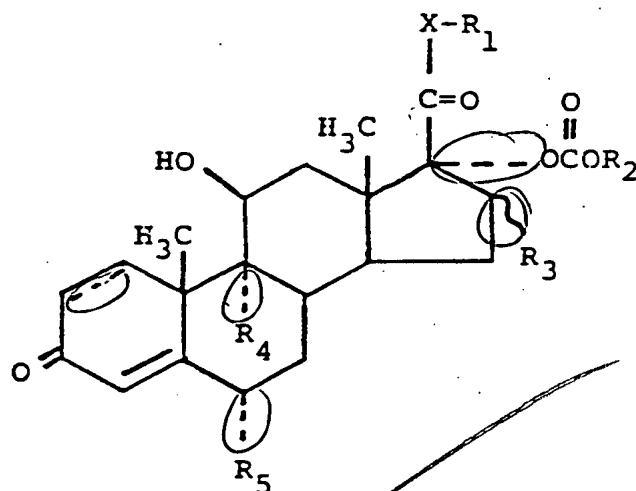


CM WHAT IS CLAIMED IS:

1. A compound selected from the group consisting of:

PO (a) a compound of the formula



PO+10 wherein:

P1 R<sub>1</sub> is C<sub>1-14</sub>-C<sub>10</sub> alkyl; C<sub>2-14</sub>-C<sub>10</sub> (monohydroxy or polyhydroxy)alkyl; C<sub>1-14</sub>-C<sub>10</sub> (monohalo or polyhalo)alkyl; or -CH<sub>2</sub>COOR<sub>6</sub> wherein R<sub>6</sub> is unsubstituted or substituted C<sub>1-14</sub>-C<sub>10</sub> alkyl; C<sub>3-14</sub>-C<sub>8</sub> cycloalkyl, C<sub>3-14</sub>-C<sub>8</sub> cycloalkenyl or C<sub>2-14</sub>-C<sub>10</sub> alkenyl, the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl,

T1231X -NHC(=O)-(C<sub>1</sub>-C<sub>10</sub> alkyl) and -OC(=O)-(C<sub>1</sub>-C<sub>10</sub> alkyl), PO+10  
 15 or R<sub>6</sub> is unsubstituted or substituted phenyl or benzyl, the

substituents being selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxycarbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino, 20 mono(lower alkyl)carbamoyl, di(lower alkyl)carbamoyl, lower alkylthio, lower alkylsulfinyl and lower

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alkylsulfonyl; or  $R_1$  is  $\text{CH}_2\text{CONR}_7\text{R}_8$  wherein  $R_7$  and  $R_8$ , which can be the same or different, are each hydrogen, lower alkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl, phenyl or benzyl, or  $R_7$  and  $R_8$  are combined such that  $\text{NR}_7\text{R}_8$  represents the

5 residue of a saturated monocyclic secondary amine; or  $R_1$  is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group of phenyl and benzyl substituents defined hereinabove with respect to  $R_6$ ; or  $R_1$  is  $\text{CH-Y-(lower alkyl)}$  <sup>P1+10</sup> wherein Y is  $\text{S-}$ ,  $\text{SO-}$ ,  $\text{SO}_2\text{-}$  or  $\text{O-}$  and  $R_9$  is hydrogen, lower alkyl or phenyl, or  $R_9$  and the lower alkyl group adjacent to Y are combined

10 so that  $R_1$  is a cyclic system of the type  $\text{CH - Y}$  <sub>alkylene</sub> <sup>T1241X</sup>

P1+10 wherein Y is defined as above and the alkylene group contains 3 to 10 carbon atoms, of which at least 3 and no more than 6 are ring atoms; or  $R_1$  is  $\text{CH-OCR}_6$  <sup>P1+10</sup> wherein  $R_6$  is defined as hereinabove and  $R_{10}$  is hydrogen, lower alkyl, phenyl or halophenyl;

P1  $R_2$  is unsubstituted or substituted  $\text{C}_1\text{-C}_{10}$  alkyl,  $\text{C}_3\text{-C}_8$  cycloalkyl,  $\text{C}_3\text{-C}_8$  cycloalkenyl or  $\text{C}_2\text{-C}_{10}$  alkenyl, 20 the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl,  $\text{-NHC-}$  ( $\text{C}_1\text{-C}_{10}$  alkyl)

T1243X and  $\text{-OC-}$  ( $\text{C}_1\text{-C}_{10}$  alkyl), <sup>P1+10</sup> (or  $R_2$  is unsubstituted or substituted phenyl or benzyl, the substituents being 25 selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxy carbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino, mono(lower alkyl)carbamoyl, di(lower alkyl)carbamoyl, lower alkylthio, lower 30 alkylsulfinyl and lower alkylsulfonyl;

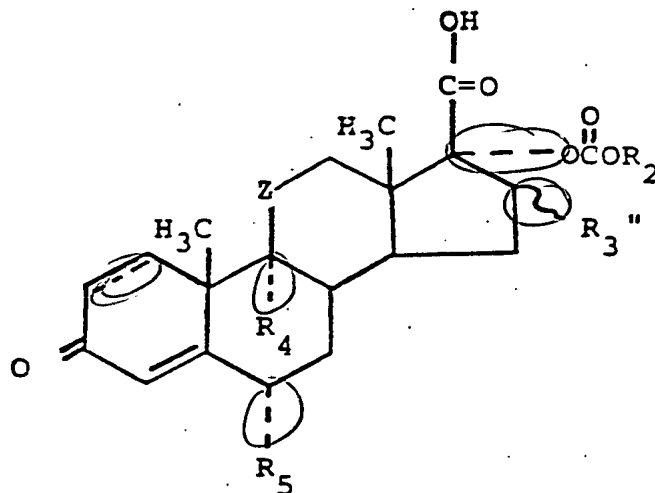
P1  $R_3$  is hydrogen,  $\alpha$ -hydroxy,  $\beta$ -hydroxy,  $\alpha$ -methyl,  $\beta$ -methyl,  $=\text{CH}_2$ , or  $\alpha$ - or  $\beta$ - $\text{OCOR}_2$  <sup>P1+10</sup> (wherein  $R_2$  is identical to  $R_2$  as defined hereinabove; T1244X

P1  $R_4$  is hydrogen, fluoro or chloro;

*P1*  $R_5$  is hydrogen, fluoro, chloro or methyl;  
*L*  $X$  is  $-O-$  or  $-S-$ ;  
*P1* and the dotted line in ring A indicates that the 1,2 linkage is saturated or unsaturated;

5 *PO* (b) a quaternary ammonium salt of a compound of formula (I) wherein at least one of  $R_1$  and  $R_2$  is a halo-substituted alkyl group;

*PO* (c) a compound of the formula

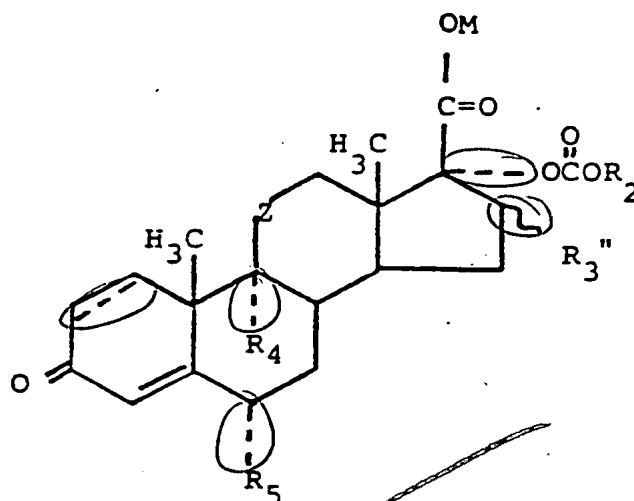


*PO+1010* wherein  $R_2$ ,  $R_4$ ,  $R_5$ , and the dotted line in ring A are as  
*62* defined in (a) above,  $Z$  is carbonyl or  $\beta$ -hydroxymethylene  
*60,62* and  $R_3''$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl,  $=CH_2$  or  $\alpha$ - or

*T1251X*  $\beta$ - $OCOR_2$  *PO+10* wherein  $R_2$  is identical to  $R_2$  above;

*PO* (d) a compound of the formula

T1260 X

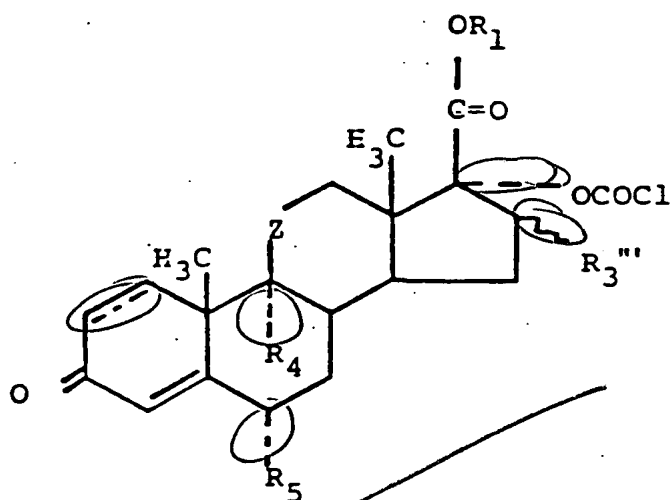


(IV)

P0+10 wherein M is alkali metal, thallium, alkaline earth metal/2 or NH<sub>4</sub> and R<sub>2</sub>, R<sub>3</sub>'', R<sub>4</sub>, R<sub>5</sub>, Z and the dotted line in ring A are as defined in (a) and (c) above;

5 P0 (e) a compound of the formula

T1261 X

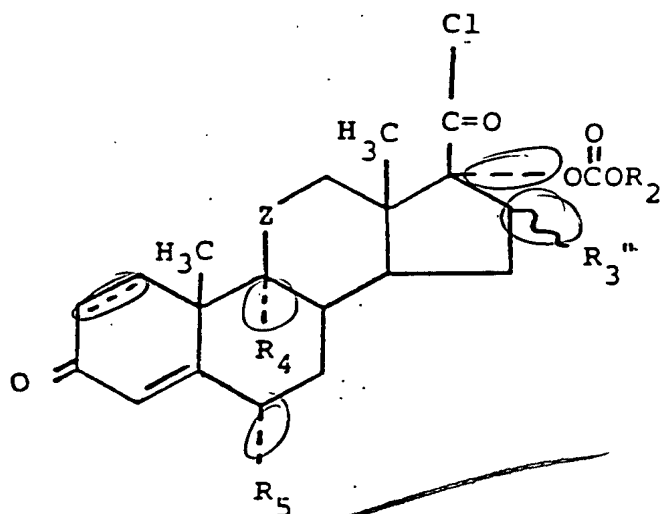


(VII)

PO+10 wherein  $R_3''$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl,  $\alpha$ -OCOC1  
 62 or  $\beta$ -OCOC1, and  $R_1$ ,  $R_4$ ,  $R_5$ , Z and the dotted line in  
 ring A are as defined in (a) and (c) above;

PO

(f) a compound of the formula

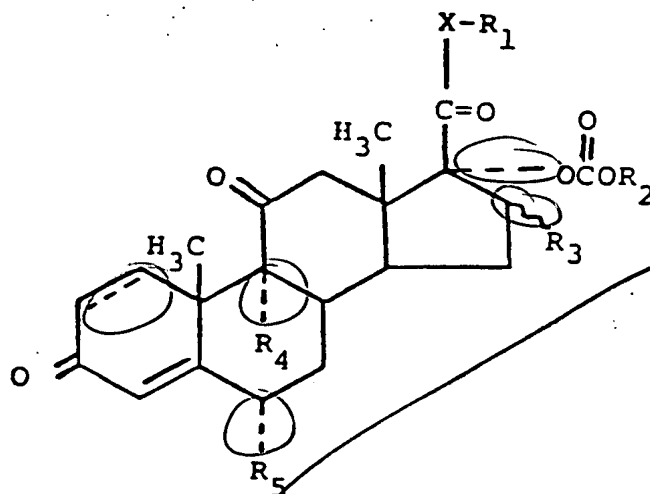


PO+10

wherein  $R_2$ ,  $R_3''$ ,  $R_4$ ,  $R_5$ , Z and the dotted line in ring A  
 are as defined in (a) and (c) above; and

PO

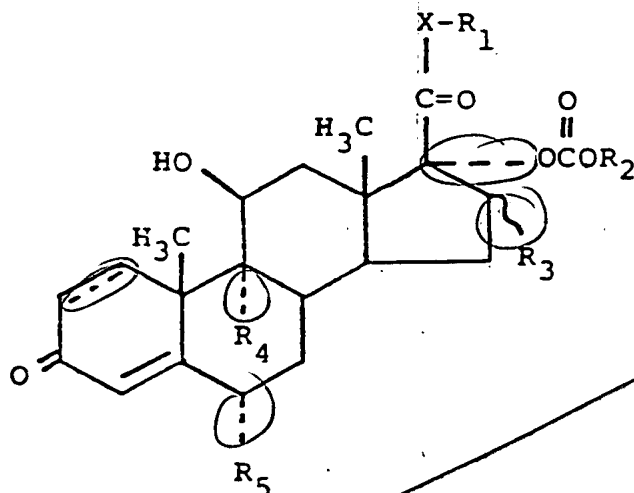
(g) a compound of the formula



P0+10 wherein  $R_1, R_2, R_3, R_4, R_5, X$  and the dotted line in ring A are as defined in (a) above.

2. A compound selected from the group consisting of:

5 P0 (a) a compound of the formula



P0+10 wherein:

P1  $R_1$  is  $C_{1/4}C_6$  alkyl;  $C_{1/4}C_6$  (monohalo or polyhalo)alkyl;  $CH_2COOR_6$  wherein  $R_6$  is  $C_{1/4}C_6$  alkyl;

10  $CH_2Y(C_{1/4}C_6$  alkyl) wherein Y is  $-S-$ ,  $-SO-$ ,  $-SO_2-$  or  $-O-$ ; or  $CH_2OCOR_6'$  wherein  $R_6'$  is  $C_{1/4}C_6$  or phenyl;

P1  $R_2$  is  $C_1-C_6$  alkyl,  $C_{3/4}C_8$  cycloalkyl, phenyl, benzyl or  $C_1-C_6$  (monohalo or polyhalo)alkyl;

P1  $R_3$  is hydrogen,  $\alpha$ -hydroxy,  $\alpha$ -methyl,  $\beta$ -methyl

15 or  $\alpha-OCOR_2$  (wherein  $R_2$  is identical to  $R_2$  as defined hereinabove;

P1  $R_4$  is hydrogen or fluoro;

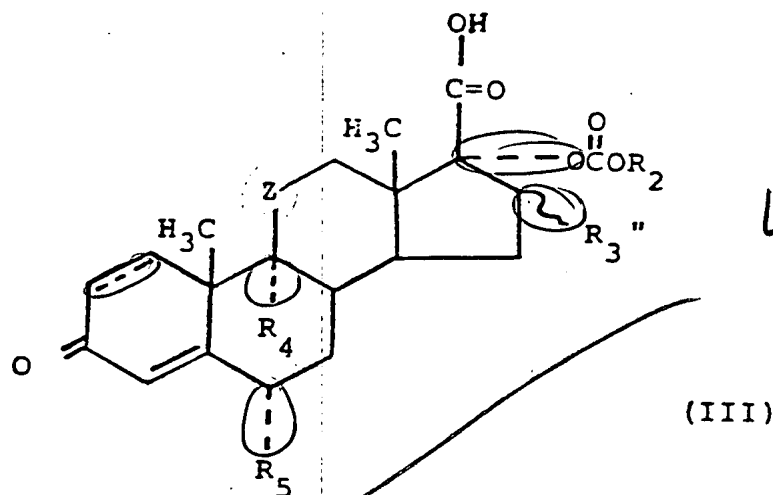
$R_5$  is hydrogen or fluoro;

X is  $-O-$  or  $-S-$ ;

20 and the dotted line in ring A indicates that the 1,2-linkage is saturated or unsaturated;

PO (b) a quaternary ammonium salt of a compound of formula (I) wherein at least one of  $R_1$  and  $R_2$  is a halo-substituted alkyl group;

PO (c) a compound of the formula

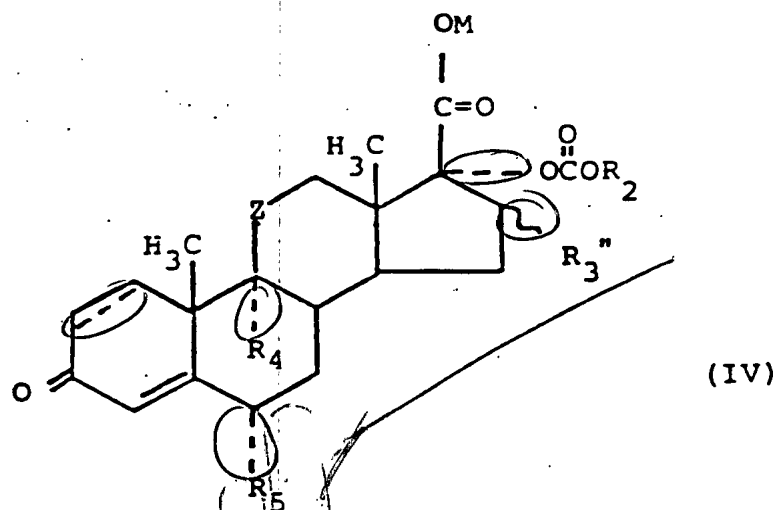


PO + 10 wherein  $R_2$ ,  $R_4$ ,  $R_5$  and the dotted line in ring A are as defined in (a) above, Z is carbonyl or  $\beta$ -hydroxymethylene

60, 62 and  $R_3$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl or  $\alpha$ -OCOR<sub>2</sub> wherein  $R_2$  is identical to  $R_2$  above;

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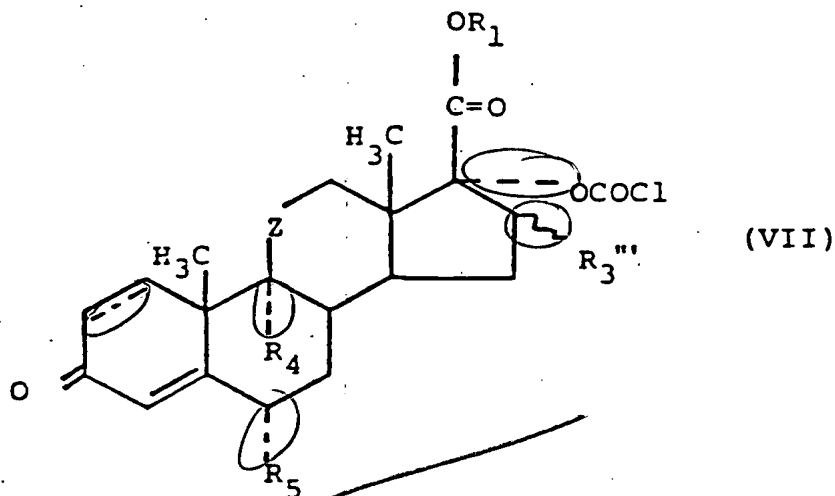
PO (d) a compound of the formula



PO+10 wherein M is alkali metal, thallium, alkaline earth metal/2 or  $\text{NH}_4$  and  $\text{R}_2$ ,  $\text{R}_3''$ ,  $\text{R}_4$ ,  $\text{R}_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above;

PO

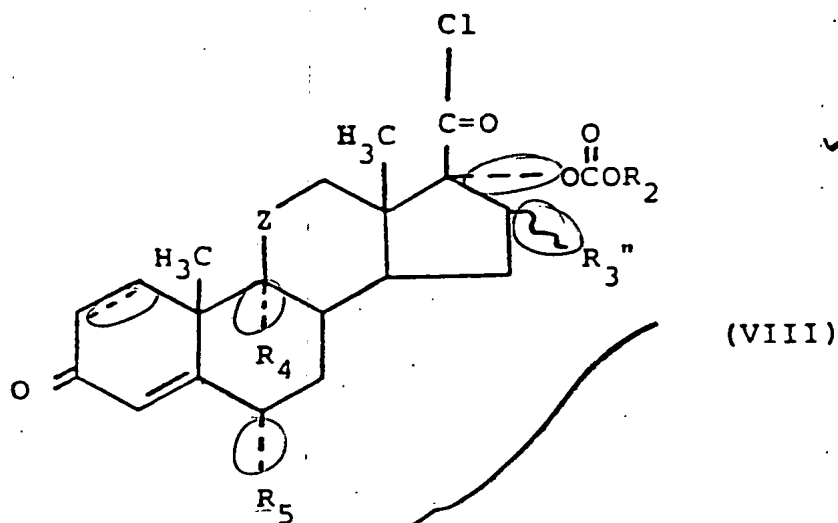
(e) a compound of the formula



PO+10 wherein  $\text{R}_3''$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl or  $\alpha$ -OCOC1, and  $\text{R}_1$ ,  $\text{R}_4$ ,  $\text{R}_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above;

PO

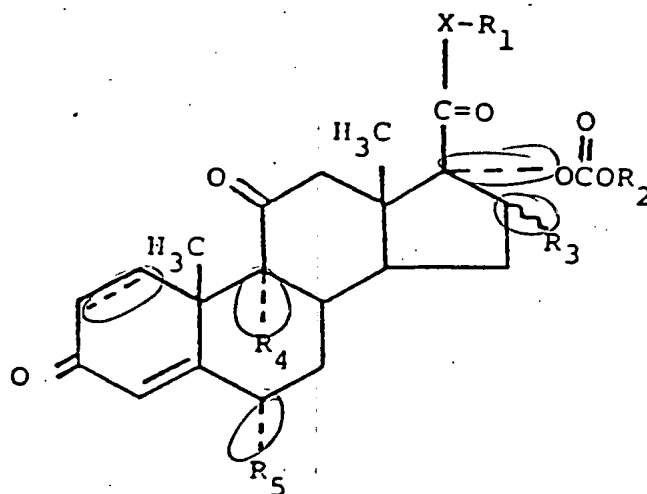
(f) a compound of the formula





PO+10 wherein  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above; and

PO (g) a compound of the formula



PO+10 5 wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ , X and the dotted line in ring A are as defined in (a) above.

3. A compound of claim 1 or 2, said compound having the structural formula (I).

10 4. A compound of claim 1 or 2, said compound being a quaternary ammonium salt of a compound of formula (I) wherein at least one of  $R_1$  and  $R_2$  is a halo $\ominus$  substituted alkyl group.

5. A compound of claim 1 or 2, said compound having the structural formula (III).

15 6. A compound of claim 1 or 2, said compound having the structural formula (IV).

7. A compound of claim 1 or 2, said compound having the structural formula (VII).

8. A compound of claim 1 or 2, said compound having the structural formula (VIII).

9. A compound of claim 1 or 2, said compound having the structural formula (IX).

5 10. A compound of claim 1, said compound having the structural formula (I) wherein  $R_3$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl,  $\overset{\text{60}}{=}\text{CH}_2$  or  $\alpha$ - or  $\beta$ - $\text{O}-\overset{\text{O}}{\parallel}\text{C}-\text{OR}_2$ . T 1320X

10 11. A compound of claim 1 or 2, said compound having the structural formula (I) wherein  $R_1$  is  $\text{C}_{1/4}^{14}-\text{C}_6$  alkyl.

12. A compound of claim 1 or 2, said compound having the structural formula (I) wherein  $R_1$  is  $\text{C}_{1/4}^{14}-\text{C}_6$  (monohalo or polyhalo)alkyl.

15 13. A compound of claim 12 wherein  $\text{C}_{1/4}^{14}-\text{C}_6$  (monohalo or polyhalo)alkyl is  $\text{C}_{1/4}^{14}-\text{C}_6$  monohaloalkyl.

14. A compound of claim 13 wherein  $\text{C}_{1/4}^{14}-\text{C}_6$  monohaloalkyl is  $\text{C}_{1/4}^{14}-\text{C}_6$  monochloroalkyl.

15. A compound of claim 14 wherein  $\text{C}_{1/4}^{14}-\text{C}_6$  monochloroalkyl is chloromethyl.

20 16. A compound of claim 11 wherein  $R_2$  is  $\text{C}_{1/4}^{14}-\text{C}_6$  alkyl or  $\text{C}_{1/4}^{14}-\text{C}_6$  monohaloalkyl.

17. A compound of claim 12 wherein  $R_2$  is  $\text{C}_{1/4}^{14}-\text{C}_6$  alkyl.

25 18. A compound of claim 13 wherein  $R_2$  is  $\text{C}_{1/4}^{14}-\text{C}_6$  alkyl.

19. A compound of claim 14 wherein  $R_2$  is  $C_{1/4}-C_6$  alkyl.

20. A compound of claim 15 wherein  $R_2$  is  $C_{1/4}-C_6$  alkyl.

5 21. A compound of claim 11 wherein  $R_2$  is  $C_{3/4}-C_8$  cycloalkyl, phenyl, benzyl or  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

10 22. A compound of claim 12 wherein  $R_2$  is  $C_{3/4}-C_8$  cycloalkyl, phenyl, benzyl or  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

23. A compound of claim 13 wherein  $R_2$  is  $C_{3/4}-C_8$  cycloalkyl, phenyl, benzyl or  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

15 24. A compound of claim 14 wherein  $R_2$  is  $C_{3/4}-C_8$  cycloalkyl, phenyl, benzyl or  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

25. A compound of claim 15 wherein  $R_2$  is  $C_{3/4}-C_8$  cycloalkyl, phenyl, benzyl or  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

B 20 26. A compound of claim 1 or 2, said compound having the structural formula (I) wherein X is  $\begin{smallmatrix} -O- \\ | \quad | \\ \text{3} \quad \text{3} \end{smallmatrix}$ .

27. A compound of claim 12 wherein X is  $\begin{smallmatrix} -O- \\ | \quad | \\ \text{3} \quad \text{3} \end{smallmatrix}$ .

28. A compound of claim 13 wherein X is  $\begin{smallmatrix} -O- \\ | \quad | \\ \text{3} \quad \text{3} \end{smallmatrix}$ .

29. A compound of claim 14 wherein X is  $\begin{smallmatrix} -O- \\ | \quad | \\ \text{3} \quad \text{3} \end{smallmatrix}$ .

B 30. A compound of claim <sup>17</sup>~~26~~ wherein  $R_4$  and  $R_5$  are hydrogen.

B 31. A compound of claim <sup>18</sup>~~27~~ wherein  $R_4$  and  $R_5$  are hydrogen.

B 5 32. A compound of claim <sup>19</sup>~~28~~ wherein  $R_4$  and  $R_5$  are hydrogen.

B 33. A compound of claim <sup>20</sup>~~29~~ wherein  $R_4$  and  $R_5$  are hydrogen.

B 10 34. A compound of claim <sup>17</sup>~~26~~ wherein at least one of  $R_4$  and  $R_5$  is fluoro.

B 35. A compound of claim <sup>18</sup>~~27~~ wherein at least one of  $R_4$  and  $R_5$  is fluoro.

B 36. A compound of claim <sup>19</sup>~~28~~ wherein at least one of  $R_4$  and  $R_5$  is fluoro.

B 15 37. A compound of claim <sup>20</sup>~~29~~ wherein at least one of  $R_4$  and  $R_5$  is fluoro.

B 38. A compound of claim <sup>17</sup>~~26~~ wherein  $R_4$  is fluoro and  $R_5$  is hydrogen.

B 20 39. A compound of claim <sup>18</sup>~~27~~ wherein  $R_4$  is fluoro and  $R_5$  is hydrogen.

B 40. A compound of claim <sup>19</sup>~~28~~ wherein  $R_4$  is fluoro and  $R_5$  is hydrogen.

B 41. A compound of claim <sup>20</sup>~~29~~ wherein  $R_4$  is fluoro and  $R_5$  is hydrogen.

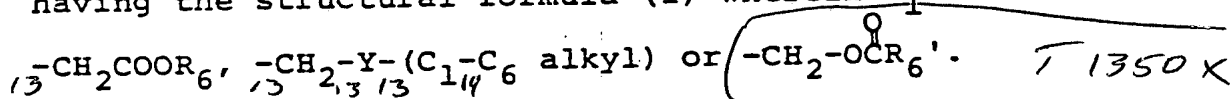
42. A compound of claim 35 wherein  $R_3$  is  
60,62  $\alpha$ -methyl or  $\beta$ -methyl.

43. A compound of claim 37 wherein  $R_3$  is  
60,62  $\alpha$ -methyl or  $\beta$ -methyl.

5 44. A compound of claim 39 wherein  $R_3$  is  
60,62  $\alpha$ -methyl or  $\beta$ -methyl.

60,62 45. A compound of claim 41 wherein  $R_3$  is  
 $\alpha$ -methyl or  $\beta$ -methyl.

46. A compound of claim 1 or 2, said compound  
10 having the structural formula (I) wherein  $R_1$  is



47. A compound of claim 1, said compound  
having the structural formula (I) wherein  $R_1$  is  
 ${}_{13}\text{-CH}_2\text{CONR}_7\text{R}_8$ .

15 48. A compound of claim 47 wherein at least  
one of  $R_7$  and  $R_8$  is hydrogen or  $\text{C}_{1/4}\text{-C}_6$  alkyl.

49. A compound of claim 47 wherein  $R_7$  and  $R_8$   
are combined so that  ${}_{13}\text{-NR}_7\text{R}_8$  represents the residue of a  
saturated monocyclic secondary amine containing 5 to 7  
20 carbon atoms.

50. A compound of claim 49 wherein  ${}_{13}\text{-NR}_7\text{R}_8$   
represents morpholino, 1-pyrrolidinyl, 4-benzyl-~~10~~  
piperazinyl, perhydro-1,2,4-oxathiazin-4-yl, 1- or  
4-piperazinyl, 4-methyl-1-piperazinyl, piperidino,  
25 hexamethyleneimino, 4-phenylpiperidino, 2-methyl-~~10~~  
pyrazolidinyl, 1- or 2-pyrazolidinyl, 3-methyl-~~10~~  
imidazolidinyl, 1- or 3-imidazolidinyl, 4-benzylpiperidino  
or 4-phenyl-1-piperazinyl.

135

51. A compound of Claim 1, said compound having the structural formula (I) wherein  $R_1$  is  $\text{-CH-Y-(lower alkyl)}$  wherein  $R_9$  is hydrogen or methyl, or  $R_9$  wherein  $R_9$  and the lower alkyl group adjacent to Y are combined so that  $R_1$  is  $\text{-CH - Y}$  wherein Y is  $\text{-S-}$ ,  $\text{-SO-}$ ,  $\text{-SO}_2\text{-}$  or  $\text{-O-}$  and the alkylene group contains 3 to 10 carbon atoms, of which at least 3 and no more than 6 are ring atoms.

52. A compound of Claim 1 or 2, said compound having the structural formula (I) wherein the  $R_3$ ,  $R_4$  and  $R_5$  groupings and the 1,2-linkage are identical to those of a glucocorticosteroid selected from the group consisting of hydrocortisone and prednisolone.

53. A compound of Claim 1 or 2, said compound having the structural formula (I) wherein the  $R_3$ ,  $R_4$  and  $R_5$  groupings and the 1,2-linkage are identical to those of a glucocorticosteroid selected from the group consisting of fludrocortisone, betamethasone and dexamethasone.

54. A compound of Claim 1 or 2, said compound having the structural formula (I) wherein the  $R_3$ ,  $R_4$  and  $R_5$  groupings and the 1,2-linkage are identical to those of a glucocorticosteroid selected from the group consisting of flumethasone, fluprednisolone, methyl prednisolone and paramethasone.

55. A compound of Claim 1 or 2, said compound having the structural formula (I) wherein  $R_3$  is  $\alpha\text{-OCOR}_2$ , and wherein the  $R_4$  and  $R_5$  groupings and the 1,2-linkage are identical to those of triamcinolone.

<sup>52</sup>  
56. A compound of claim 1 or 2, said compound having the structural formula (III) wherein Z is  $\beta$ -hydroxymethylene and  $R_2$  is  $C_{1/4}-C_6$  alkyl.

<sup>53</sup>  
57. A compound of claim 1 or 2, said compound having the structural formula (IV) wherein Z is  $\beta$ -hydroxymethylene and  $R_2$  is  $C_{1/4}-C_6$  alkyl.

<sup>54</sup>  
58. A compound of claim 1 or 2, said compound having the structural formula (VII) wherein Z is  $\beta$ -hydroxymethylene and  $R_1$  is  $C_{1/4}-C_6$  alkyl or  $C_{1/4}-C_6$  monohaloalkyl.

<sup>55</sup>  
59. A compound of claim 1 or 2, said compound having the structural formula (VIII) wherein Z is  $\beta$ -hydroxymethylene and  $R_2$  is  $C_{1/4}-C_6$  alkyl.

<sup>56</sup>  
60. A compound of claim 1 or 2, said compound having the structural formula (IX) wherein  $R_1$  is  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl.

<sup>57</sup>  
61. A compound of claim 60 wherein  $C_{1/4}-C_6$  (monohalo or polyhalo)alkyl is  $C_{1/4}-C_6$  monohaloalkyl.

<sup>58</sup>  
62. A compound of claim 61 wherein  $R_2$  is  $C_{1/4}-C_6$  alkyl.

<sup>59</sup>  
63. A compound of claim 1 or 2, said compound having the structural formula (IX) wherein  $R_1$  is  $C_{1/4}-C_6$  alkyl or  $C_{1/4}-C_6$  monohaloalkyl,  $R_2$  is  $C_{1/4}-C_6$  alkyl or  $C_{1/4}-C_6$  monohaloalkyl and X is  $\begin{smallmatrix} -O- \\ | \quad | \\ 13 \quad 13 \end{smallmatrix}$

64. A compound of Claim 63 wherein the  $R_3$ ,  $R_4$  and  $R_5$  groupings and the 1,2-linkage are identical to those of a glucocorticosteroid selected from the group consisting of cortisone, prednisone, chloroprednisone and meprednisone.

60  
65. A compound of Claim 2, said compound having the structural formula (IX) wherein  $R_1$  is  $C_{1-6}$  alkyl,  $-CH_2COOR_6$ ,  $-CH_2-Y-(C_{1-6}$  alkyl) or  $-CH_2-O-C(=O)-R_6$ .

10

62,60

L

61  
66. The compound of Claim 2 which is chloromethyl 11 $\beta$ -hydroxy-17 $\alpha$ -methoxycarbonyloxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

60,62

L15

62  
67. The compound of Claim 2 which is chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-11 $\beta$ -hydroxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

60,62

L

63  
68. The compound of Claim 2 which is chloromethyl 17 $\alpha$ -butoxycarbonyloxy-11 $\beta$ -hydroxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

62,60

20

L

64  
69. The compound of Claim 2 which is chloromethyl 11 $\beta$ -hydroxy-17 $\alpha$ -isopropoxycarbonyloxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

60,62

L

65  
70. The compound of Claim 2 which is chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\beta$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

25

60,62

L

66  
71. The compound of Claim 2 which is chloromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -methyl-17 $\alpha$ -propoxycarbonyloxyandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.



67

62,60  
L

72. The compound of claim 2 which is  
1-chloroethyl 11 $\beta$ -hydroxy-17 $\alpha$ -isopropoxycarbonyloxyan-  
drost-4-en-3-one-17 $\beta$ -carboxylate.

68

60,62  
L

5 73. The compound of claim 2 which is  
1-chloroethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$   
isopropoxycarbonyloxy-16 $\beta$ -methylandrosta-1,4-dien-3-  
one-17 $\beta$ -carboxylate.

69

60,62  
L10

74. The compound of claim 2 which is  
chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-11 $\beta$ -hydroxyandrosta  
1,4-dien-3-one-17 $\beta$ -carboxylate.

70

62,60  
L

75. The compound of claim 2 which is  
chloromethyl 11 $\beta$ -hydroxy-17 $\alpha$ -isopropoxycarbonyloxyan-  
drosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

71

60,62 15  
L

76. The compound of claim 2 which is  
chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$   
hydroxyandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

72

60,62  
L L

77. The compound of claim 2 which is  
chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$   
hydroxy-16 $\alpha$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

73

20  
60,62  
L  
62

78. The compound of claim 2 which is  
chloromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$   
isopropoxycarbonyloxy-16 $\alpha$ -methylandrosta-1,4-dien-3-  
one-17 $\beta$ -carboxylate. ✓

74

60,62 25  
L

79. The compound of claim 2 which is  
chloromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$   
isopropoxycarbonyloxy-16 $\beta$ -methylandrosta-1,4-dien-3-  
one-17 $\beta$ -carboxylate.

75

60,62  
L L

~~80.~~ The compound of claim 2 which is  
chloromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$ -methoxycarbonyloxy  
16 $\alpha$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

76

60,62  
L

~~81.~~ The compound of claim 2 which is  
chloromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -methyl-17 $\alpha$ -  
pentyloxycarbonyloxyandrosta-1,4-dien-3-one-17 $\beta$ -  
carboxylate.

77

60,62  
L 16

~~82.~~ The compound of claim 2 which is  
fluoromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$ -  
hydroxy-16 $\alpha$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

78

60,62  
L L

~~83.~~ The compound of claim 2 which is methyl  
17 $\alpha$ -(2-chloroethoxy)carbonyloxy-9 $\alpha$ -fluoro-11 $\beta$ -hydroxy  
16 $\alpha$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

79

60,62  
L 15

~~84.~~ The compound of claim 2 which is  
17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -  
methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylic acid.

80

60,62  
L

~~85.~~ The compound of claim 2 which is  
9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$ -isopropoxycarbonyloxy-16 $\beta$ -  
methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylic acid.

81

20  
60,62  
L

~~86.~~ The compound of claim 2 which is  
9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -methyl-17 $\alpha$ -  
propoxycarbonyloxyandrosta-1,4-dien-3-one-17 $\beta$ -carboxylic  
acid.

82

60,62  
L 25

~~87.~~ The compound of claim 2 which is  
9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-17 $\alpha$ -methoxycarbonyloxy-16 $\alpha$ -  
methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylic acid.

<sup>83</sup>  
~~88.~~ The compound of claim 2 which is  
11 $\beta$ -hydroxy-17 $\alpha$ -methoxycarbonyloxyandrost-4-en-3-one  
17 $\beta$ -carboxylic acid, 17 $\alpha$ -ethoxycarbonyloxy-11 $\beta$   
hydroxyandrost-4-en-3-one-17 $\beta$ -carboxylic acid,  
17 $\alpha$ -butoxycarbonyloxy-11 $\beta$ -hydroxyandrost-4-en-3-one  
17 $\beta$ -carboxylic acid, or 11 $\beta$ -hydroxy-17 $\alpha$   
isopropoxycarbonyloxyandrost-4-en-3-one-17 $\beta$ -carboxylic  
acid.

<sup>84</sup>  
~~89.~~ The compound of claim 2 which is sodium  
11 $\beta$ -hydroxy-17 $\alpha$ -methoxycarbonyloxyandrost-4-en-3-one  
17 $\beta$ -carboxylate, sodium 17 $\alpha$ -ethoxycarbonyloxy-11 $\beta$   
hydroxyandrost-4-en-3-one-17 $\beta$ -carboxylate, sodium  
17 $\alpha$ -butoxycarbonyloxy-11 $\beta$ -hydroxyandrost-4-en-3-one  
17 $\beta$ -carboxylate, or sodium 11 $\beta$ -hydroxy-17 $\alpha$   
isopropoxycarbonyloxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

<sup>85</sup>  
~~90.~~ The compound of claim 2 which is  
chloromethyl 17 $\alpha$ -chlorocarbonyloxy-11 $\beta$ -hydroxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

<sup>86</sup>  
~~91.~~ The compound of claim 2 which is  
chloromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-16 $\alpha$   
methylandrosta-1,4-diene-3,11-dione-17 $\beta$ -carboxylate. ✓

<sup>87</sup>  
~~92.~~ The compound of claim 2 which is  
chloromethyl 9 $\alpha$ -fluoro-17 $\alpha$ -isopropoxycarbonyloxy-16 $\beta$   
methylandrosta-1,4-diene-3,11-dione-17 $\beta$ -carboxylate.

<sup>88</sup>  
~~93.~~ A pharmaceutical composition of matter  
comprising an anti-inflammatory effective amount of a  
compound of claim 1 or 2 having the structural formula (I),  
in combination with a non-toxic pharmaceutically  
acceptable carrier therefor suitable for topical or other  
local application.

<sup>89</sup>  
94. A method for alleviating inflammation in or on a warm-blooded animal exhibiting a topical inflammatory response, which comprises topically administering thereto an anti-inflammatory effective amount of a composition of claim <sup>88</sup>93.

<sup>90</sup>  
95. A method for alleviating inflammation in or on a warm-blooded animal exhibiting a localized inflammatory response, which comprises locally administering thereto an anti-inflammatory effective amount of composition of claim <sup>88</sup>93.

<sup>91</sup>  
96. A compound of claim 13 wherein  $C_{1-6}$  monohaloalkyl is  $C_{1-6}$  monofluoroalkyl.

<sup>92</sup>  
97. A compound of claim <sup>91</sup>96 wherein  $C_{1-6}$  monofluoroalkyl is fluoromethyl.

<sup>93</sup>  
98. A compound of claim <sup>91</sup>96 wherein  $R_2$  is  $C_{1-6}$  alkyl.

<sup>94</sup>  
99. A compound of claim <sup>92</sup>97 wherein  $R_2$  is  $C_{1-6}$  alkyl.

<sup>95</sup>  
100. A compound of claim <sup>91</sup>96 wherein X is  $\overline{O}$ .

<sup>96</sup>  
101. A compound of claim <sup>95</sup>100 wherein  $R_4$  and  $R_5$  are hydrogen.

<sup>97</sup>  
102. A compound of claim <sup>96</sup>101 wherein  $R_3$  is hydrogen.

<sup>98</sup>  
103. A compound of ~~claim 100~~<sup>95</sup> wherein at least one of R<sub>4</sub> and R<sub>5</sub> is fluoro.

<sup>99</sup>  
104. A compound of ~~claim 100~~<sup>95</sup> wherein R<sub>4</sub> is fluoro and R<sub>5</sub> is hydrogen.

5 <sup>100</sup>  
105. A compound of ~~claim 104~~<sup>99</sup> wherein R<sub>3</sub> is  $\alpha$ -methyl or  $\beta$ -methyl.

<sup>101</sup>  
62, 60 L 106. The compound of ~~claim 2~~ which is fluoromethyl 11 $\beta$ -hydroxy-17 $\alpha$ -isopropoxycarbonyloxyandrost-4-en-3-one-17 $\beta$ -carboxylate.

<sup>102</sup>  
10 60, 62 L L 107. The compound of ~~claim 2~~ which is fluoromethyl 17 $\alpha$ -ethoxycarbonyloxy-9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -methylandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

<sup>103</sup>  
60 62-15 108. The compound of ~~claim 2~~ which is fluoromethyl 9 $\alpha$ -fluoro-11 $\beta$ -hydroxy-16 $\alpha$ -methyl-17 $\alpha$ -n<sup>o</sup>propoxycarbonyloxyandrosta-1,4-dien-3-one-17 $\beta$ -carboxylate.

Add B'

Add C17